

REVISED VERSION

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
30 September 2004 (30.09.2004)

PCT

(10) International Publication Number
WO 2004/083208 A1

(51) International Patent Classification⁷: **C07D 471/10**,
401/12, 521/00, 211/62, 295/12, A61K 31/451, 31/4725,
A61P 3/04, 15/00, 25/22, 25/24

(21) International Application Number:
PCT/EP2004/002896

(22) International Filing Date: 19 March 2004 (19.03.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
03006256.6 20 March 2003 (20.03.2003) EP

(71) Applicant (for all designated States except US): **MY-
OCONTRACT LTD.** [CH/CH]; Hammerstrasse 25,
CH-4410 Liestal (CH).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **SOEBERDT**,
Michael [DE/DE]; Fecampring 28, 79618 Rheinfelden
(DE). **WEYERMANN, Philipp** [CH/CH]; Auweg 35,
CH-4450 Sissach (CH). **VON SPRECHER, Andreas**
[CH/CH]; Nelkenweg 1, CH-4104 Oberwil (CH). **HEN-
NEBÖHLE, Marco** [DE/DE]; Schillerstr. 26, 79618
Rheinfelden (DE).

(74) Agent: **GOLDBACH, Klara**; Grünecker, Kinkeldey,
Stockmair & Schwanhäusser, Maximilianstrasse 58,
80538 München (DE).

(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,
ZW.

(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), Euro-
pean (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR,
GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK,
TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,
ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- with amended claims

(88) Date of publication of the revised international search
report: 20 January 2005

(15) Information about Correction:
see PCT Gazette No. 03/2005 of 20 January 2005, Sec-
tion II

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: SUBSTITUTED PIPERIDINE AND PIPERAZINE DERIVATIVES AS MELANOCORTIN-4 RECEPTOR MODULA-
TORS

(57) Abstract: The present invention relates to novel substituted piperidine and piperazine derivatives as melanocortin-4 receptor (MC-4R) modulators. MC-4R agonists of the invention can be used for the treatment of disorders and diseases such as obesity, diabetes and sexual dysfunction, whereas the MC-4R antagonists are useful for the treatment of disorders and diseases such as cancer cachexia, muscle wasting, anorexia, anxiety and depression. All diseases and disorders, where the regulation of the MC-4R is involved, can be treated with the compounds of the invention.

WO 2004/083208 A1

INTERNATIONAL SEARCH REPORT CORRECTED VERSION

International Application No
PCT/EP2004/002896

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D471/10 C07D401/12 C07D521/00 C07D211/62 C07D295/12
A61K31/451 A61K31/4725 A61P3/04 A61P15/00 A61P25/22
A61P25/24

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, BEILSTEIN Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 00/74679 A (PATCHETT ARTHUR A; PLOEG LEONARDUS H T V D (US); SEBHAT IYASSU (US) 14 December 2000 (2000-12-14) cited in the application Abstract; claims 1,18. -----	1-15
A	WO 01/70337 A (MERCK & CO INC; NARGUND RAVI P (US); PALUCKI BRENDA L (US)) 27 September 2001 (2001-09-27) cited in the application Abstract; claims 1,15. -----	1-15
A	WO 01/91752 A (YE ZHIXIONG; MERCK & CO INC (US); NARGUND RAVI P (US); BAKSHI RAMA) 6 December 2001 (2001-12-06) cited in the application Abstract; claims 1,19. -----	1-15
	-/--	

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search

14 September 2004

Date of mailing of the international search report

08. 12. 2004

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+31-70) 340-3016

Authorized officer

Weisbrod, T

INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP2004/002896

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 02/059107 A (MANCUSO VINCENT; FISHER MATTHEW JOSEPH (US); MARTINELLI MICHAEL JO) 1 August 2002 (2002-08-01) cited in the application Abstract; claims 1,17-23. -----	1-15
A	WO 02/059117 A (MANCOSO VINCENT; MARTINELLI MICHAEL JOHN (US); ROTHHAAR ROGER RYAN) 1 August 2002 (2002-08-01) cited in the application Abstract; claims 1,9,14,19,24,29,34. -----	1-15

INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP2004/002896

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 0074679	A	14-12-2000	AU 766191 B2	09-10-2003
			AU 5306800 A	28-12-2000
			CA 2377369 A1	14-12-2000
			EP 1187614 A1	20-03-2002
			JP 2003505435 T	12-02-2003
			WO 0074679 A1	14-12-2000
			US 6350760 B1	26-02-2002
			US 2002137664 A1	26-09-2002
WO 0170337	A	27-09-2001	AU 4928101 A	03-10-2001
			CA 2403631 A1	27-09-2001
			EP 1268000 A1	02-01-2003
			JP 2003527444 T	16-09-2003
			WO 0170337 A1	27-09-2001
			US 6472398 B1	29-10-2002
WO 0191752	A	06-12-2001	AU 6497701 A	11-12-2001
			CA 2410597 A1	06-12-2001
			EP 1289526 A1	12-03-2003
			JP 2003534377 T	18-11-2003
			WO 0191752 A1	06-12-2001
			US 2002004512 A1	10-01-2002
WO 02059107	A	01-08-2002	CA 2433025 A1	01-08-2002
			EP 1368339 A1	10-12-2003
			JP 2004521117 T	15-07-2004
			WO 02059107 A1	01-08-2002
WO 02059117	A	01-08-2002	CA 2431996 A1	01-08-2002
			CA 2432985 A1	01-08-2002
			EP 1370558 A1	17-12-2003
			EP 1368340 A1	10-12-2003
			JP 2004523529 T	05-08-2004
			JP 2004523530 T	05-08-2004
			WO 02059117 A1	01-08-2002
			WO 02059108 A1	01-08-2002
			US 2004092507 A1	13-05-2004
			US 2004082590 A1	29-04-2004